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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> S L3 L4

=> D 1-4 IBIB ABS HITSTR 4 L3

IS COPYRIGHT 2004 ACS on STN 2003:43054 CAPLUS 138:107007 L4 ANSWER 1 OF 4 CAPLUS ACCESSION NUMBER: 200 DOCUMENT NUMBER: 138

USA
U.S. Pat. Appl. Publ., 113 pp., Cont.-in-part of U. S. Ser. No. 815,960.
CODEN: USXXCO Preparation of 5-amino-4-hydroxypentanoic acid derivatives, for treating Alzheimer's disease Hom, Koy; Mamo, Shumeye; Tung, Jay; Gailunas, Andrea; John, Varghese; Fang, Lawrence PATENT ASSIGNEE(S): SOURCE: INVENTOR (S):

Patent English 2 FAMILY ACC. NUM. COUNT: PATENT INFORMATION: DOCUMENT TYPE: LANGUAGE:

APPLECANTS

20010323 P 20000323 A2 20010323 A2 20010323 2001002 US 2000-191528P US 2001-815960 US 2001-816876 US 2001-960634 US 2001-816876 US 2001-815960 APPLICATION NO. 20030116 20020214 20020221 20040518 KIND PRIORITY APPLN. INFO.: US 2003013881 US 2002019403 US 2002022623 US 6737420 PATENT NO.

MARPAT 138:107007 OTHER SOURCE(S): æ

The invention is directed toward substituted hydroxyethylene compds.

Manying the fragment -NHCHRICH(HOH) CHZCHRZOC- [RI = alkyl, alkylthioalkyl, alkylthioalkyl, alkenyl, (hetero)aryl alkyl, beterocyclylalkyl, or heterocyclyls R2 = H, alkyl, cycloalkylalkyl, or (hetero)aryl for use in heterocyclyl; R2 = H, alkyl, cycloalkylalkyl, or (hetero)aryl for use in heterorycycly alkaleners disease and similar diseases. In an example, N-[(1S, 28, 4R)-1-(3,5-diluorobenzyl) -4-(syn, syn)-(3,5-diluorobenzyl) -4-(syn, syn)-(3,5-diluorobenzyl) -2-hydroxyhexyll-N,N-dipropylisophathalamide

was prepared by solution-based methodol.
36480-29-39 56480-38-49
Ed. PAC. (Pharmacological activity), SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES Ħ

(preparation of amino(hydroxy)pentanoic acid derivs. for treating Alzheimer's disease)

362460-29-3 CAPLUS
1,3-Benzenedicarboxamide, N'-[(15,25,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-[[2-(4-morpholinyl)ethyl]amino]-5-oxopentyl]-5-methyl-N.N-dipropyl- (9CI) (CA INDEX NAME) 2 3

Absolute stereochemistry

362480-32-8 CAPLUS

1,3-Benzenedicarboxamide, N'-[(18,28,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-[[(tetrahydro-2-furanyl)methyl]amino]pentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

362480-38-4 CAPLUS 1.3-Benzendicarboxanide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-5-[(2-furanylmethyl)amino]-2-hydroxy-4-methyl-5-oxopentyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME) **3** 3

Absolute stereochemistry.

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 2001:713293 CAPLUS DOCUMENT NUMBER: 135:273220

Preparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of Alzheimer's Hom, Roy; Mamo, Shumeye; Tung, Jay; Gailunas, Andrea; John, Varghese; Fang, Larry Usa Blan Pharmaceuticals, Inc., USA PCT Int. Appl., 240 pp. CODEN: PIXXD2 PACENT RACENT RACENT

PATENT ASSIGNEE(S): SOURCE:

INVENTOR (S):

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

DOCUMENT TYPE:

APPLECANTS

AT, BE, CH, CY, PT, SE, TR, BF, TD, TG CA, CH, CN, GE, GH, GM, LK, LR, LS, PL, PT, RO, UG, UZ, VN, 20010323 NL, SE, MC, PT, P 20000323 W 20010323 20010323 20010323 A. S. SE, K.,

MW, MZ, SD, SL, S.,

FR, GB, GR, IE, IT, LU, ..

A2 20021218 EP 2001-926424

DB, DK, ES, FR, GB, GR, IT, LI, LU, NL, IV, FI, RO, MK, CY, AL, TR, LI, LU, NL, TY, PI, RO, MK, CY, AL, TR, LI, LU, NL, TY, PI, RO, MK, CY, AL, TR, LI, LU, NL, MR, CY, AL, TR, LI, LU, NL, TR, MR, CY, AL, TR, LY, TR WZ, CO, BY, GB, KZ, NO, TZ, TM, APPLICATION NO. WO 2001-US9501 TR, TT, RU, TJ, TZ, UG, LU, MC, MR, NE, RZ, KZ, 001092 AT, DE, R: AT, BE, CH, DE, DK
IE, SI, IT, IV, FI,
JP 2003528071
PRIORITY APPLN: INFO.: KIND WO 2001070672 WO 2001070672 PATENT NO.

R SOURCE(S): WARPAT 135:273220
Hydroxyethylenes, such as RNHCHRICH(OH)CHZCHSZCOBR3 [R = peptidyl group, acyl, etc.; R1 = alkyl, alkenyl, arylalkyl, etc.; R2 = H, alkyl, cycloalkyl, arylalkyl, etc.; R3 = peptidyl group, B = O, NK4; R3 = alkyl, treatment cof Alzheimer's disease. Thus, Boc.L-V31.L-West-NH-(S,S,S)-CH(CHZCHMez)CH(OH)CH(CHMez)CO-L-Na1.L-Glu-L-Phe-OH via a series of amide coupling reactions of the corresponding amino acids with the OTHER SOURCE (S): ΑB

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hydroxyethylene moiety. The prepared hydroxyethylenes were tested for psecretaes inhibiting activity.

16480-29-39 152480-33-8P 362480-38-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPM (Synthetic preparation); THU (Therapeutic use); BIOL (Biological activity); PEEP (Preparation); USES (USES) (USES) (USES) (Dreparation of hydroxyethylenes with peptide subunits for pharmaceutical use in the treatment of Alzheimer's disease)

13.3 baraeneldicarboxamaide, N' - (IS, 2S, 4R) - 1 - (I (3, 5 - difluorophenyl) methyl] - 2 - hydroxy-4 - methyl - 5 - (I (2 - (4 - morpholinyl) amino] - 5 - oxopentyl] - 5 - methyl - (9CI) (CA INDEX NAME)

2 2

Absolute stereochemistry.

Z Z

362480-32-8 CAPLUS

1.3. Senzemendicarboxamide, N'-[(1S,2S,4R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-4-methyl-5-oxo-5-[([tetrahydro-2-furanyl)methyl)methyl-5-oxo-5-[(metryl-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

2 2

362480-38-4 CAPLUS

1.3.54810-38-4 (A.S. 25,4R)-1-[(3,5-difluorophenyl)methyl]-5[(2-furanylmethyl)amino]-2-hydroxy-4-methyl-5-oxopentyl]-5-methyl-N.Ndipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

4 CAPLUS COPYRIGHT 2004 ACS on STN: 1998:207292 CAPLUS L4 ANSWER 3 OF 4 ACCESSION NUMBER: DOCUMENT NUMBER:

128:270871
Preparation of azolyl dipeptide analogs as retroviral protease inhibitors
Car. Thomas Joseph; Demarsh, Peter Lawrence; Dreyer, Geoffrey Bainbridge; Penwick, Ashley Edward Smithkline Beecham Corporation, USA U.S., 42 pp., Cont. of U.S. Ser. No. 193.026,

INVENTOR(S):

PATENT ASSIGNEE(S):

SOURCE:

CODEN: USXXAM Patent English DOCUMENT TYPE:

LANGUAGE: FAMILY ACC. NUM. CO PATENT INFORMATION:

US 1995-396356 US 1994-193026 APPLICATION NO. MARPAT 128:270871 19980331 DATE KIND 4 US 5733882
PRIORITY APPLN. INFO.:
OTHER SOURCE(S): PATENT NO.

19950228 19940117

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I'D'S. EEE CENT

25 JAN 2002

$$R_{2} \xrightarrow{R_{1}} R_{2}$$

$$R_{2} \xrightarrow{R_{2}} R_{3} \qquad I$$

$$CDZ_{H} \xrightarrow{R_{1}} N$$

$$R_{2} \xrightarrow{R_{2}} R_{3}$$

$$R_{3} \xrightarrow{R_{3}} I$$

$$R_{2} \xrightarrow{R_{2}} R_{3}$$

$$R_{3} \xrightarrow{R_{3}} I$$

$$R_{3} \xrightarrow{R_{3}} I$$

$$R_{4} \xrightarrow{R_{3}} I$$

III

OTBS CH2Ph

analogs I [R1, R3 = independently (un) substituted 0, 0-C1-6 alkyl, 0-C2-6 alkynyl, C1-6 alkyl substituted 0, 0-C1-6 alkyl, 0-C2-6 alkynyl, C1-6 alkyl substituted by 1-5 F atoms; 0 = H, C3-6 cycloalkenyl, argl, heterocyclyl; R2 = H, OH; R4 = R6NR11, CONRICHERRY, E3 = R6NR11, R1 = NR11, O, S; R7 = 0, 0-C1-6 alkyl, 0-C2-6 alkenyl; R8 = independently H, OH, halo, NO2, acyl, CF3, aryl, etc.; R8R9 = fused C2-4 alkylene, aryl, heterocyclyl; R10 = A-(B)n; R11 = H, C1-4 alkyl; B = amino acid; A = H, (un) substituted aryl, heterocyclyl, aryl, wheterocyclyl, aryl, with provised; n a pharmaceutically acceptable salt thereof, which bind to retroviral proteases. These compds, are inhibitors of retroviral proteases and are useful for treating diseases related to infection by retroviruses. Thus, cyclocondensation of protected valual Deprotection of II, followed by coupling with dipeptide isostere III, and final desilylation gave desired title compound IV as its HK1 salt. The propared compds, including IV, showed inhibition of HIV-1 protease with Ki m and which the dipeptide condense with Ki m and which the dipeptide condense with Ki m and which the difference of the compound IV as its effective of the compound compds. = 1 nM to 5 µM, and inhibited infection of cells with the HIV virus with ICS0 = 0.1 to 10 µM. invention provides compds., more particularly dipeptide B

149356-76-3P 149356-77-4P 149356-79-6P

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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of azolyl dipeptide analogs as retroviral protease inhibitors) 149356-76-3 CAPLUS

Benzenehexanamide, δ-(benzoylamino)-γ-hydroxy-N-[1-(1H-imidazol-2-γ1)-2-methylpropyl]-α-(phenylmethyl)-, [αR-[N(S*), αR*, γS*, δS*]]- (9CI) (CA INDEX NAME)

3 5

Absolute stereochemistry

149356-77-4 CAPLUS
Benzenehxchananide, γ-hydroxy-N-[1-(1H-imidazol-2-γl)-2-methylpropyl]8-[(4-methoxybenzoyl)amino]-α-(phenylmethyl)-,
[αR-[N(S*),αR*,γS*, SS*]]- (9CI) (CA INDEX NAME) **3** 3

Absolute stereochemistry

Absolute stereochemistry.

149356-81-0 CAPLU8

Benzenehexanamide, v-hydroxy-8-[(2-hydroxybenzoy])amino]-N-[1-(11-imidazo]-2-yl)-2-methylpropy-]-α-(phenylmethyl)-,
[αR-[N(S*),αR*,γS*,δS*]]- (9CI) (CA INDEX NAME) Absolute stereochemistry. Z Z

THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS 23 REFERENCE COUNT:

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT	r 2004 ACS on STN	CAPLUS		Preparation of N-imidazolylalkyl-5-amino-4-	hydroxyhexanamides and analogs as retroviral protease		Carr, Thomas Joseph; DeMarsh, Peter Lawrence; Penwick,	Ę	Smithkline Beecham Corp., USA	PCT Int. Appl., 146 pp.	22					
REC	US COPYRI	1993:517245 CAPLUS	119:117245	Preparati	hydroxyhe	inhibitors	Carr, Tho	Ashley Edward	Smithklin	PCT Int.	CODEN: PIXXD2	Patent	English	,I		
	L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN	ACCESSION NUMBER:	DOCUMENT NUMBER:	TITLE:			INVENTOR(S):		PATENT ASSIGNEE(S):	SOURCE:		DOCUMENT TYPE:	LANGUAGE:	FAMILY ACC. NUM. COUNT:	PATENT INFORMATION:	

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MARRAT 119:117245

RSCHRICH(OH)CHRZCHR3R4 [1; R1, R3 = fluoroalkyl, cycloalk(en)yl(alkyl), erecocyclyl(alkyl), etc.; R2 = H, OH; R4 = azolylamino, N (azolylalkyl) cherocyclyl(alkyl), etc.; R2 = H, OH; R4 = azolylamino, N (azolylalkyl) carbamoyl; R5 = substituted aminol were prepared Thus, S5)-PhCHZCH(NHCOZCHe3)CH(ORS)CHCHCHDCHOCN(TI, R6 = Simpezche3) R7 = OH) to give, after deprotection, II (R6 = H, R7 = NHCHRCHMO2, R = Imidazol-2-yl). I had Ki of 1 nM to 5 µM for inhibition of HIV-1 H49356-76-3P 149356-79-6P OTHER SOURCE(S):

E

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as retroviral protease inhibitor) 149356-76-3 CAPLUS

Z Z

Benzenehexanamide 6- (benzoylamino) -y-hydroxy.N-[1-(lH-imidazol-2-yl)-2-methylpropyl]-a-(phenylmethyl)-, [aR-[N(S*), aR*,yS*, SS*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry

149356-77-4 CAPLUS
Benzenehxchananide, γ-hydroxy-N-[1-(1H-imidazol-2-γ1)-2-methylpropyl]8-[(4-methoxybenzoγ)]amino]-α-(phenylmethyl)-,
[αR-[N(S*),αR*,γS*,δS*]]- (9CI) (CA INDEX NAME) 2 Z

Absolute stereochemistry

149356-79-6 CAPLUS
Benzenehexanamide, γ-hydroxy-8-[(4-hydroxybenzoyl)amino]-N-[1-(1H-imidazol-2-γ1)-2-methylpropyl]-α-[phenylmethyl)-,
[αR-[N(S*),αR*,γS*,δS*]]- (9CI) (CA INDEX NAME) Z Z

Absolute stereochemistry.

149356-81-0 CAPLUS
Benzenehexanamide, "Phydroxy-8-[(2-hydroxybenzoyl)amino]-N-[1-(11-imidazol-2-yl)-2-methylpropyl]-a-(phenylmethyl)-,
[aR-[N(S*),aR*,yS*,SS*]]- (9CI) (CA INDEX NAME) Z Z

Absolute stereochemistry.

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